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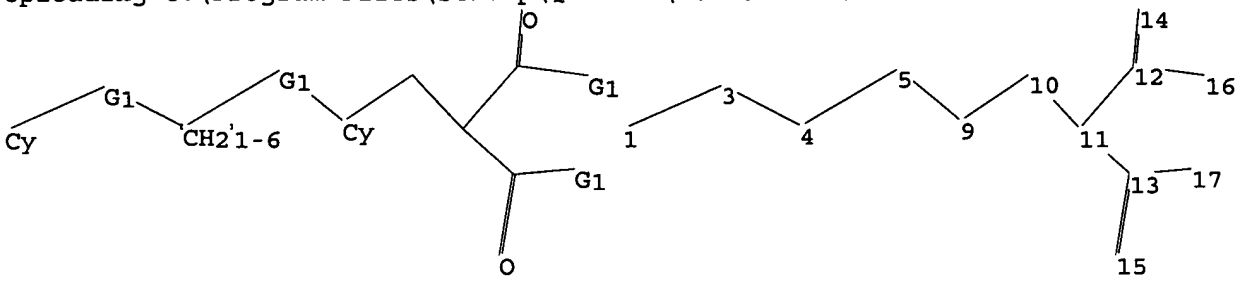


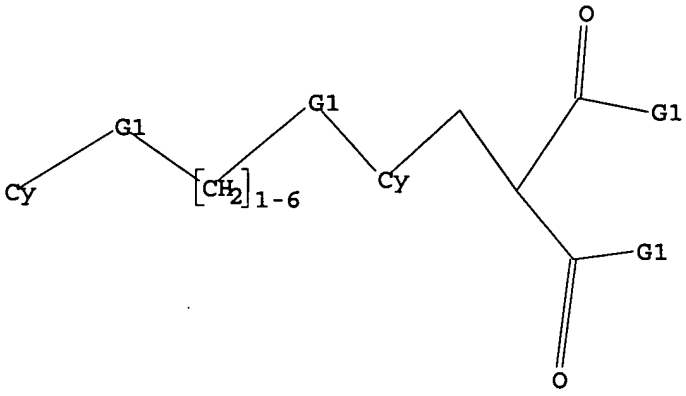
chain nodes :
1 3 4 5 9 10 11 12 13 14 15 16 17
chain bonds :
1-3 3-4 4-5 5-9 9-10 10-11 11-12 11-13 12-14 12-16 13-15 13-17
exact/norm bonds :
1-3 3-4 4-5 5-9 9-10 12-14 12-16 13-15 13-17
exact bonds :
10-11 11-12 11-13

G1:O,S,N

Match level :
1:Atom 3:CLASS 4:CLASS 5:CLASS 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS
14:CLASS 15:CLASS 16:CLASS 17:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

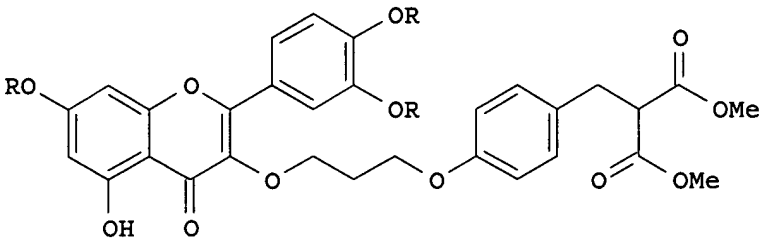
=> s l1 full
L3 64 SEA SSS FUL L1

=> file ca

=> s l3
L4 15 L3

=> d ibib abs fhitstr 1-15

L4 ANSWER 1 OF 15 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:346949 CA
TITLE: Design and synthesis of novel antidiabetic agents
AUTHOR(S): Lee, Joon Yeol; Park, Won-Hui; Cho, Min-Kyoung; Yun, Hyun Jin; Chung, Byung-Ho; Pak, Youngmi Kim; Hahn, Hoh-Gyu; Cheon, Seung Hoon
CORPORATE SOURCE: College of Pharmacy & Research Institute of Drug Development, Chonnam National University, Gwangju, 500-757, S. Korea
SOURCE: Archives of Pharmacal Research (2005), 28(2), 142-150
CODEN: APHRDQ; ISSN: 0253-6269
PUBLISHER: Pharmaceutical Society of Korea
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

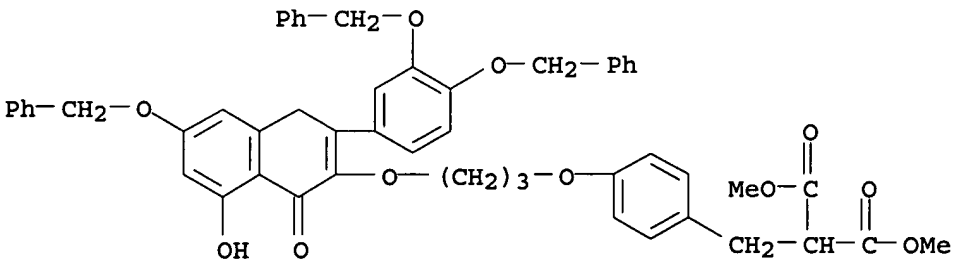


I

AB The synthesis and structure-activity relationships of a novel series of substituted quercetins that activates peroxisome proliferator-activated receptor gamma (PPARγ) are reported. The PPARγ agonistic activity of the most potent compound, I (R = CH2OCH3), in this series is comparable to that of the thiazolidinedione-based antidiabetic drugs currently in clin. use.

IT **865759-71-3P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and PPARγ agonistic activity of quercetin derivs. containing malonate and thiazolidinedione as antidiabetic agents)

RN 865759-71-3 CA
CN Propanedioic acid, [[4-[3-[[3-[3,4-bis(phenylmethoxy)phenyl]-1,4-dihydro-8-hydroxy-1-oxo-6-(phenylmethoxy)-2-naphthalenyl]oxy]propoxy]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)



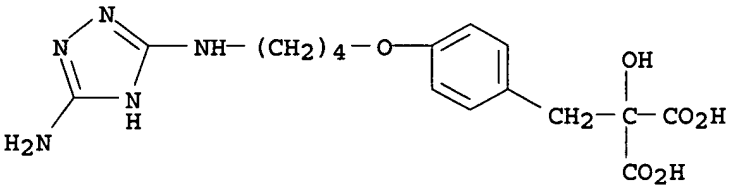
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 15 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:145781 CA
TITLE: Preliminary in vitro results indicating tartronic acids as aspartic acid mimetics in vitronectin receptor antagonists: Evidence for increased hydroxyapatite affinity
AUTHOR(S): Hauze, Diane B.; Kees, Kenneth L.; Mann, Charles W.; Fletcher, Horace, III; Murrills, Richard; Matteo, Jeanne; Bex, Frederick; Bhat, Bheem; Coleburn, Valerie
CORPORATE SOURCE: Chemical and Screening Sciences, Wyeth Research, Collegeville, PA, 19426-3930, USA
SOURCE: Letters in Drug Design & Discovery (2005), 2(3), 201-204
CODEN: LDDDAW; ISSN: 1570-1808
PUBLISHER: Bentham Science Publishers Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of tartronic acid analogs of a non-peptide RGD mimetic were prepared and evaluated both for antagonism of the vitronectin receptor and for affinity to hydroxyapatite, the main inorg. component of bone matrix. The hydroxy bis acid unit was found to be optimal for both receptor binding and hydroxyapatite affinity, while the N-terminus affected only receptor binding affinity.

IT **860297-84-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preliminary in vitro results indicating tartronic acids as aspartic acid mimetics in vitronectin receptor antagonists: evidence for increased hydroxyapatite affinity)

RN 860297-84-3 CA
CN Propanedioic acid, [[4-[4-[(5-amino-1H-1,2,4-triazol-3-yl)amino]butoxy]phenyl]methyl]hydroxy- (9CI) (CA INDEX NAME)

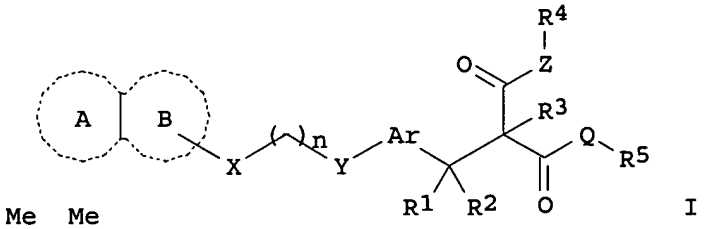


REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 15 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:59685 CA
TITLE: Preparation of naphthalene derivatives as inhibitors of PPAR receptors for reducing sugars and lipids
INVENTOR(S): Lu, Xianping; Li, Zhibin; Liao, Chenzhong
PATENT ASSIGNEE(S): Shenzhen Weixin Biological Science & Technology Co. Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, No pp. given
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1515534	A	20040728	CN 2003-140230	20030818

PRIORITY APPLN. INFO.: CN 2003-140230 20030818
OTHER SOURCE(S): CASREACT 143:59685
GI



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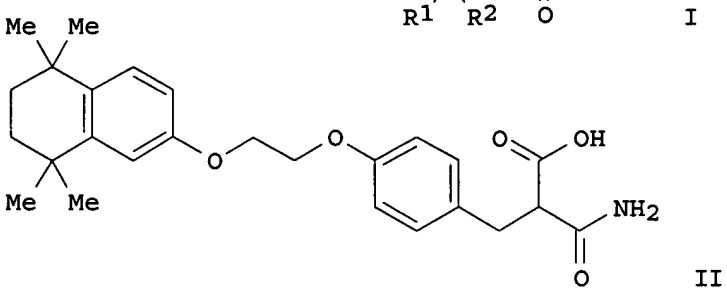


II

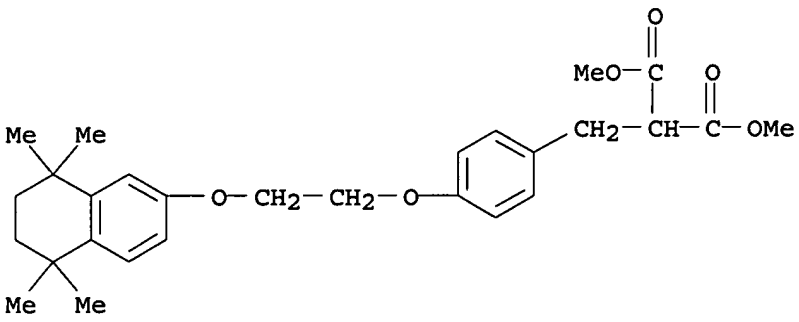
AB The title compds. I [wherein ring A = (un)substituted (hetero)cycle; ring B = (un)substituted (hetero)cycle; X, Y, Z, and Q = independently O, S, or (un)substituted NH; R1-R3 = independently H, alkyl, aralkyl, etc.; R4 and R5 = independently H, alkyl, aralkyl, etc.; Ar = (un)substituted (hetero)aromatic ring; n = 1-6] or isomers, enantiomers, hydrates, esters, or salts thereof are prepared as inhibitors of PPAR receptors for reducing sugars and lipids. For example, the compound II was prepared The compound can be used as double activating agent of nuclear receptor PPAR, i.e can be used for activating RXR/PPAR-α and RXR/PPAR-γ. The compound can be used for curing diabetes and metabolic syndrome, for example hypertension, obesity, insulin resistance, hyperlipemia, hyperglycemia, and other diseases, and can be used for improving side effect which can be produced by PPAR-γ activating agent.

IT **701294-90-8P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of naphthalene derivs. as inhibitors of PPAR receptors for reducing sugars and lipids)

RN 701294-90-8 CA

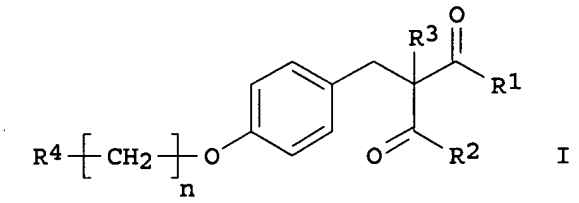
CN Propanedioic acid, [[4-[2-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)oxy]ethoxy]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 15 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:373683 CA
TITLE: Preparation of 1,3-diketone compounds useful for treatment of diabetes, obesity and hyperlipidemia
INVENTOR(S): Yang, Yushe; Tang, Lei; Ji, Ruyun; Chen, Kaixian; Sun, Piaoyang
PATENT ASSIGNEE(S): Shanghai Institute of Pharmacy, Chinese Academy of Sciences, Peop. Rep. China; Hengrui Medicine Co., Ltd., Jiangsu
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 25 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1478770	A	20040303	CN 2002-136715	20020829

PRIORITY APPLN. INFO.: CN 2002-136715 20020829
OTHER SOURCE(S): CASREACT 142:373683
GI



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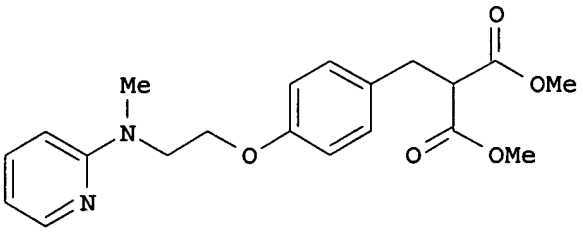


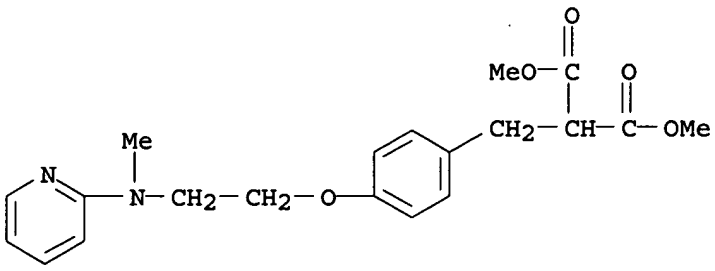
II

AB Title compds. I [wherein R1, R2 = alkyl, alkoxy, alkylamino, heterocyclic amino, hydrazino, etc.; R3 = -CH2OH, -CO2CH3, - CH2OCHO, -CH2O2CH3 or H; R4 = certain (un)substituted indolyl or pyridinylamino; n = 1-4, with some limitations] were prepared For instance, condensation of 4-[2-(N-methyl-2-pyridinylamino)ethoxy]benzaldehyde with di-Me malonate in toluene followed by Pd/C-catalyzed hydrogenation of the resultant alkene with H2 in methanol-dioxane gave II in 59.1% yield (for two steps). Some I showed strong insulin-sensitizing activity. Therefore, I are useful in the treatment of type II diabetes, obesity and hyperlipidemia.

IT **157284-81-6P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of 1,3-diketone compds. with insulin-sensitizing activity)

RN 157284-81-6 CA
CN Propanedioic acid, [[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]-,

dimethyl ester (9CI) (CA INDEX NAME)



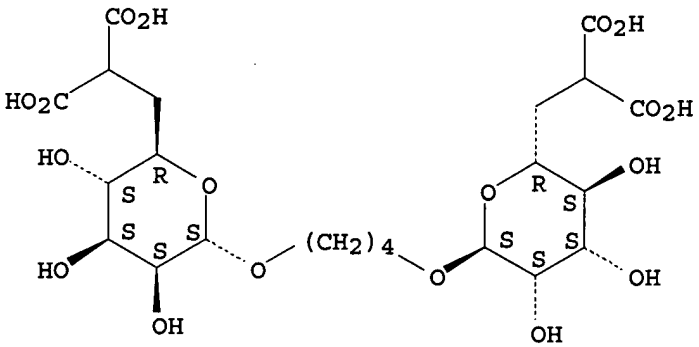
L4 ANSWER 5 OF 15 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:177008 CA
TITLE: Mono- and Bivalent Ligands Bearing Mannose 6-Phosphate (M6P) Surrogates: Targeting the M6P/Insulin-Like Growth Factor II Receptor
AUTHOR(S): Berkowitz, David B.; Maiti, Gourhari; Charette, Bradley D.; Dreis, Christine D.; MacDonald, Richard G.
CORPORATE SOURCE: Department of Chemistry, University of Nebraska, Lincoln, NE, 68588-0304, USA
SOURCE: Organic Letters (2004), 6(26), 4921-4924
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:177008

AB Mannose 6-phosphate mimics locked into the α-configuration and bearing hydrolase-resistant phosphate surrogates were synthesized and evaluated for binding affinity to the mannose 6-phosphate/insulin-like growth factor II receptor (M6P/IGF2R). Affinity increases as the phosphate surrogate is varied in the order malonyl ether < malonate < phosphonate. An alkene cross-metathesis approach to sought-after bivalent M6P-bearing ligands is also described. These compds. were designed to map onto biantennary sectors of high-mannose-type oligosaccharides carried by glycoprotein M6P/IGF2R ligands. 66472069H.

IT **833489-25-1P**
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(mono and bivalent ligands bearing mannose phosphate m surrogates targeting mp insulinlike growth factor ii receptor)

RN 833489-25-1 CA
CN α-D-manno-Octopyranosiduronic acid, 1,4-butanediyl bis[7-carboxy-6,7-dideoxy-, tetraammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



●4 NH_3

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 15 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:93512 CA
TITLE: Preparation of derivatives of phenylalkyl and phenoxyalkyl acids as serum glucose and serum lipid lowering agents for the treatment of hyperglycemia, hypertriglyceridemia and diabetes
INVENTOR(S): Gianessi, Fabio; Pessotto, Pompeo; Dell'uomo, Natalina; Tassoni, Emanuela; Tinti, Maria Ornella
PATENT ASSIGNEE(S): Sigma-Tau Industrie Farmaceutiche Riunite S.P.A., Italy
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004113266	A1	20041229	WO 2004-IT132	20040319

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: IT 2003-RM305 A 20030620
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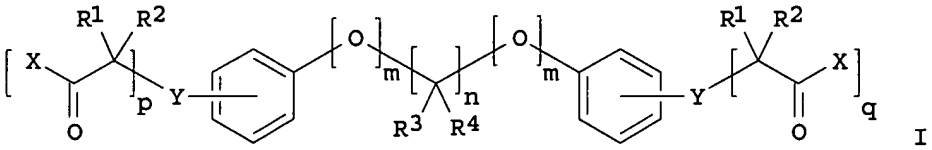

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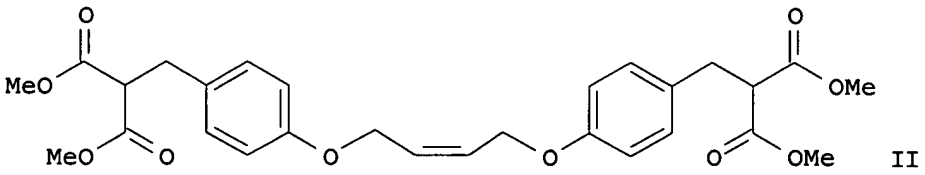


II

AB Title compds. I [wherein m, p, q = 0 or 1; n = 0-4; R3, R4 = H or alkyl; Y = O, -CH=, -CH2 or OH; X = OH or alkoxy; R1, R2 = H, alkyl; (un)substituted alkoxy, phenoxy or benzyloxy; with some limitations, or pharmacol. acceptable salts, stereoisomers or tautomers thereof] were prepared Thus, cis-1,4-dibromo-2-butene underwent etherification with 4-hydroxybenzaldehyde. The resultant bis(benzaldehyde) was condensed with di-Me malonate followed by selective reduction with NaBH4 to give II. The

invented compds. are capable of lowering serum glucose and serum lipid levels, and of reducing weight gain and the production of transaminase (GPT). In the experiment with db/db mice, reduction in glucose level, reduction in triglyceride level, variation in GPT level and weight gain were 40%, 31%, +19% and 9%, resp., after 11 day's treatment with II at a dose of 35 mg/Kg (the above values for rosiglitazone were 43%, 36%, +178% and 13% at a dose of 5 mg/Kg). Therefore, I and pharmaceutical compns. thereof are useful for the treatment of diabetes and its complications, syndrome X, insulin resistance and hyperlipidemia, and present reduced side effects, particularly reduced or no hepatotoxicity.

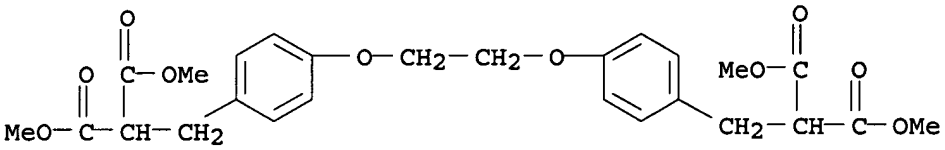
IT **816431-11-5P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of derivs. of phenylalkyl and phenoxyalkyl acids as serum glucose and serum lipid lowering agents)

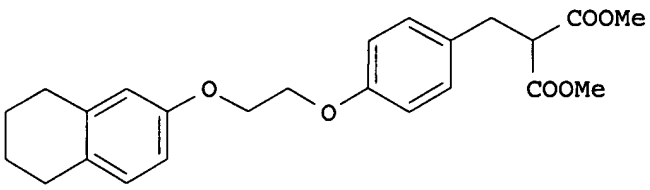
RN 816431-11-5 CA

CN Propanedioic acid, 2,2'-[1,2-ethanediylbis(oxy-4,1-phenylenemethylene)]bis-, tetramethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 15 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:173856 CA
TITLE: Design, synthesis, and evaluation of a new class of noncyclic 1,3-dicarbonyl compounds as PPARα selective activators
AUTHOR(S): Li, Zhibin; Liao, Chenzhong; Ko, Ben C. B.; Shan, Song; Tong, Edith H. Y.; Yin, Zihui; Pan, Desi; Wong, Vincent K. W.; Shi, Leming; Ning, Zhi-Qiang; Hu, Weiming; Zhou, Jiaju; Chung, Stephen S. M.; Lu, Xian-Ping
CORPORATE SOURCE: Chipscreen Biosciences, Ltd, Research Institute of Tsinghua University, Shenzhen, 518057, Peop. Rep. China
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(13), 3507-3511
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:173856
GI



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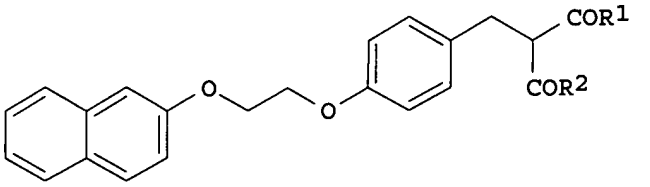
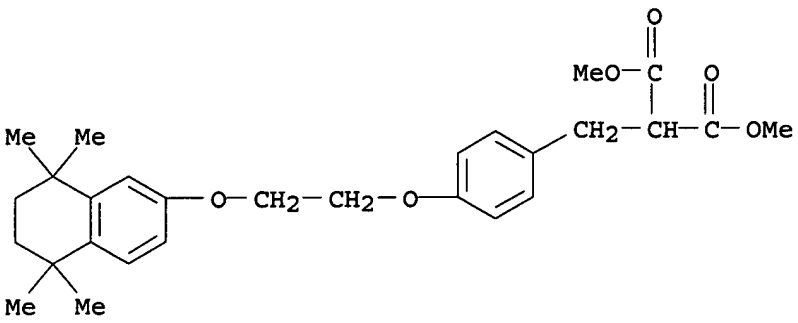


II

AB Lipid accumulation in nonadipose tissues is increasingly linked to the development of type 2 diabetes in obese individuals. The design, synthesis, and evaluation of a series of novel PPARα selective activators containing 1,3-dicarbonyl moieties. Structure-activity relationship studies led to the identification of PPARα selective activators with stronger potency and efficacy to activate PPARα over PPARγ and PPARδ. Expts. in vivo showed that compds. I, and II (R1, R2 = OMe; R1 = OH, R2 = NH2) had blood glucose lowering effect in diabetic db/db mouse model after two weeks oral dosing. The data strongly support further testing of these lead compds. in other relevant disease animal models to evaluate their potential therapeutic benefits.

IT **701294-90-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(design, synthesis, and evaluation of a new class of noncyclic 1,3-dicarbonyl compds. as PPARα selective activators for the treatment of diabetes)
RN 701294-90-8 CA
CN Propanedioic acid, [[4-[2-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)oxy]ethoxy]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX

NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 15 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:38535 CA
TITLE: Preparation of noncyclic 1,3-dicarbonyl compounds as dual PPAR agonists with potent antihyperglycemic and antihyperlipidemic activity
INVENTOR(S): Lu, Xian-Ping; Li, Zhibin; Liao, Chenzhong; Shi, Leming; Liu, Zhende; Ning, Zhiqiang; Shan, Song; Deng, Tuo; Ma, Baoshun
PATENT ASSIGNEE(S): Shenzhen Chipscreen Biosciences Ltd., Peop. Rep. China
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004048338	A1	20040610	WO 2003-IB5294		20031119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW					
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US 2004138211	A1	20040715	US 2003-713722		20031114
AU 2003276622	A1	20040618	AU 2003-276622		20031119
PRIORITY APPLN. INFO.:			US 2002-429294P	P	20021126
			US 2003-713722	A	20031114
			WO 2003-IB5294	W	20031119

OTHER SOURCE(S): MARPAT 141:38535
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Disclosed are the preparation and pharmaceutical use of novel noncyclic 1,3-dicarbonyl compds. I [ring A (fused to ring B) = (un)substituted, (un)saturated 5- or 6-membered ring optionally containing 1 or more of O, S, N (optionally substituted with one or more halogen, OH, NO2, CN, alkyl, alkenyl, alkenynyl, aralkyl, heteroarylalkyl, aminoalkyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, hydroxyalkyl, thioalkyl, heterocyclyl, alkoxy, aryl, aryloxy, aralkoxy, heteroaryl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, NH2, alkylamino, arylamino, aralkylamino); ring B (fused to ring A) = (un)substituted , (un)saturated 5- or 6-membered ring optionally containing 1 or more of O, S, N (optionally substituted as in A); R1, R2, R3 = H, alkyl, alkenyl, alkynyl, aralkyl, heteroarylalkyl, aminoalkyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, hydroxyalkyl, thioalkyl, heterocyclyl, OH, halogen, alkoxy, aryl, aryloxy, aralkoxy, heteroaryl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, NH2, alkylamino, arylamino, aralkylamino; R4, R5 = H, alkyl, alkenyl, alkenynyl, aralkyl, heteroarylalkyl, heterocycle, aryl, heteroaryl; X, Y = O, S, NR6; R6 = H, C1-3-alkyl; Q, Z = O, S, NR7; R7 = H, alkyl, aryl, arylalkyl; Ar = (un)substituted arylene, heteroarylene, divalent heterocycle (optionally

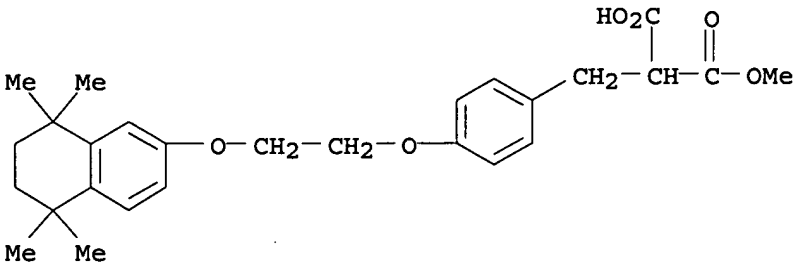
substituted with halogen, C1-6-alkyl, NH2, OH, C1-6-alkoxy, aryl); n = 1 -6], their stereoisomers, enantiomers, diastereomers, hydrates or pharmaceutically acceptable salts. A process for the preparation of I is characterized b: (a) reaction of bicyclic compound II with 4-(BrCH2CH2O)C6H4CHO in the presence of KOH; (b) Knoevenagel reaction of benzaldehyde III with CH2(CO2Me)2 in the presence of catalytic piperidinium acetate; (c) catalytic hydrogenation of benzylidene III with H2 in the presence of Pd/C to give benzylmalontes V; (d) the other 1,3-dicarbonyl compds. I are prepared via hydrolysis or other conventional reactions. Thus, malonamide I [AB = 6-quinolinyl, X = O, n = 2, Y = O, Ar = 1,4-phenylene, R1-R3 = H, ZR4 = OH, QR5 = NH2 (VI)] was prepared from 6-quinolinol via etherification with 4-(BrCH2CH2O)C6H4CHO in EtOH containing KOH, Knoevenagel condensation with CH2(CO2Me)2 in PhMe containing catalytic piperidinium acetate, catalytic hydrogenation in EtOH in the presence of Pd/C, partial hydrolysis with aqueous NaOH in THF/MeOH, amidation (SOCl2 in C6H6 then 28% ammonia solution) and saponification with aqueous NaOH in THF/MeOH. These compds., as peroxisome proliferator-activated receptor (PPAR) dual agonists for both RXR/PPARγ and RXR/PPARα heterodimers, are useful in the treatment and/or prevention of type 2 diabetes and associated metabolic syndrome such as hypertension, obesity, insulin resistance, hyperlipidemia, hyperglycemia, hypercholesterolemia, atherosclerosis, coronary artery disease, and other cardiovascular disorders. Agonist activity of VI (AB = quinoline, X = 6-O, n = 2, Y = O, Ar = 1,4-phenylene, R1-R3 = H, ZR4 = OH, QR5 = NH2) vs. RXR/PPARγ and RXR/PPARα heterodimers studied (see graphs).

IT **701294-91-9P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and amidation of; preparation of noncyclic 1,3-dicarbonyl compds. as dual PPAR agonists with antihyperglycemic and antihyperlipidemic activity)

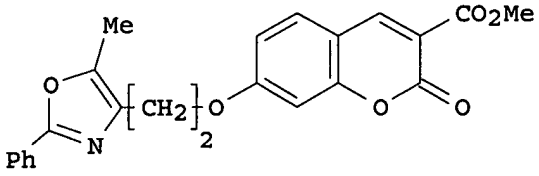
RN 701294-91-9 CA

CN Propanedioic acid, [[4-[2-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)oxy]ethoxy]phenyl]methyl]-, monomethyl ester (9CI) (CA INDEX NAME)

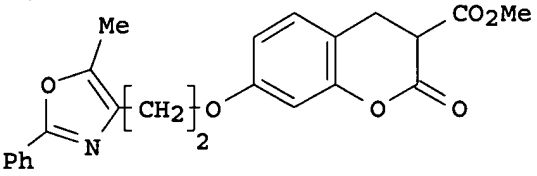


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 15 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:337860 CA
TITLE: Synthesis and insulin-sensitizing activity of a novel kind of benzopyran derivative
AUTHOR(S): Tang, Lei; Yu, Juanhong; Leng, Ying; Feng, Ying; Yang, Yushe; Ji, Ruyun
CORPORATE SOURCE: Shanghai Institutes for Biological Sciences, Shanghai Institute of Materia Medica, State Key Laboratory of Drug Research, Chinese Academy of Sciences, Shanghai, 200031, Peop. Rep. China
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(20), 3437-3440
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:337860
GI



I

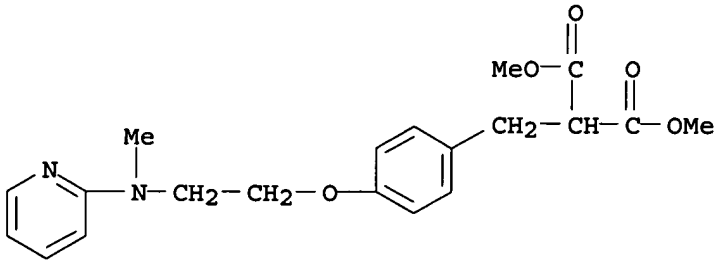


II

AB A series of benzopyran derivs., e.g., I and II, were synthesized and their insulin-sensitizing activities were evaluated in 3T3-L1 cells. Compds. I and II exhibited more potent insulin-sensitizing activity than rosiglitazone.

IT **157284-81-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn and insulin-sensitizing activities of 7-(heterocyclicethyloxy)coumarin-3-carboxylates via Mitsunobu reaction of 7-hydroxycoumarin-3-carboxylic acid with heterocyclic ethanols)

RN 157284-81-6 CA
CN Propanedioic acid, [[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

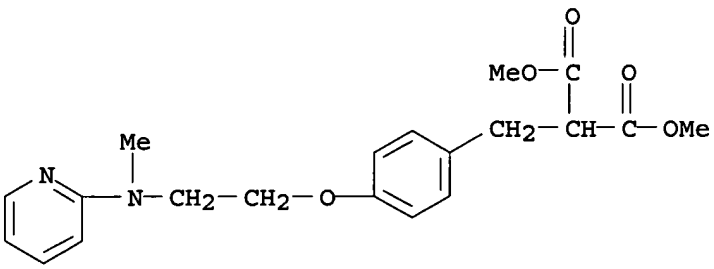
L4 ANSWER 10 OF 15 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:307663 CA
TITLE: Synthesis and insulin-sensitizing activity of a series of 2-benzyl-1,3-dicarbonyl derivatives
AUTHOR(S): Tang, Lei; Leng, Ying; Wang, Huo-Quan; Feng, Ying; Yang, Yu-She; Ji, Ru-Yun
CORPORATE SOURCE: State Key Laboratory of Drug Research, Shanghai Institute of Materia Medica, Shanghai Institutes for Biological Sciences, Chinese Academy of Sciences, Shanghai, 200031, Peop. Rep. China
SOURCE: Chinese Journal of Chemistry (2003), 21(4), 365-368
CODEN: CJOCEV; ISSN: 1001-604X
PUBLISHER: Science Press
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:307663
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A series of 2-benzyl-1,3-dicarbonyl derivs., e.g. I, was synthesized. Their insulin-sensitizing activity was evaluated in 3T3-L1 preadipocyte cells. Compds. I, II, and III were found to possess strong insulin-sensitizing activity in vitro and were selected for further hypoglycemic evaluation in vivo.

IT **157284-81-6P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and insulin-sensitizing activity of a series of 2-benzyl-1,3-dicarbonyl derivs.)

RN 157284-81-6 CA
CN Propanedioic acid, [[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)



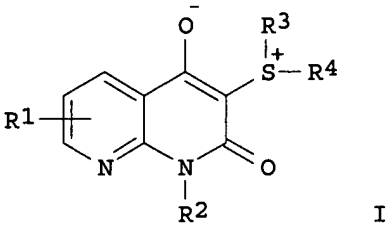
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 15 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136:369705 CA
TITLE: Preparation of naphthyridines and their use as pharmaceuticals
INVENTOR(S): Shibuya, Naotaka
PATENT ASSIGNEE(S): Ohtsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002138089	A2	20020514	JP 2001-252565	20010823
CA 2457451	AA	20030306	CA 2002-2457451	20020221
WO 2003018580	A1	20030306	WO 2002-JP1520	20020221
W: AU, CA, CN, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
EP 1426374	A1	20040609	EP 2002-700656	20020221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
CN 1547581	A	20041117	CN 2002-816531	20020221
US 2004214853	A1	20041028	US 2004-487209	20040218

PRIORITY APPLN. INFO.: JP 2000-252300 A 20000823
JP 2001-252565 A 20010823
WO 2002-JP1520 W 20020221

OTHER SOURCE(S): MARPAT 136:369705
GI

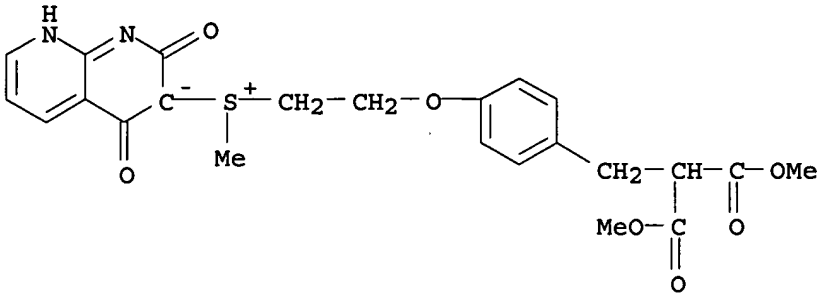


I

AB The compds. I [R1 = H, lower alkyl; R2 = H, lower alkyl, cycloalkyl, Ph, etc.; both R3 and R4 = YOZR5, lower alkyl, Ph, Ph lower alkyl; R3 and/or R4 = YOZR5; Y = lower alkylene; Z = single bond, lower alkylene; R5 = (un)substituted Ph], useful as analgesics, agents for treatment of diabetic neurosis, and adenosine potentiators are prepared Methyl-3-(3,4,5-trimethoxyphenoxypropyl) sulfide was treated with 1-benzyl-3-phenyliodonium-1,8-naphthyridine-2(1H)-on-4-oleate in the presence of p-toluenesulfonic acid in trifluoroethanol at room temperature for 30 min to give 2.4 g 1-benzyl-3-[methyl-3-(3,4,5-trimethoxyphenoxy)propylsulfonium]-1,8-naphthyridine-2(1H)-on-4-oleate showing good activity against diabetic neurosis in rat.

IT **423164-21-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of naphthyridines and their use as pharmaceuticals)

RN 423164-21-0 CA
CN Sulfonium, [2-[4-[3-methoxy-2-(methoxycarbonyl)-3-oxopropyl]phenoxy]ethyl]methyl-, 1,4-dihydro-2,4-dioxo-1,8-naphthyridin-3(2H)-ylide (9CI) (CA INDEX NAME)



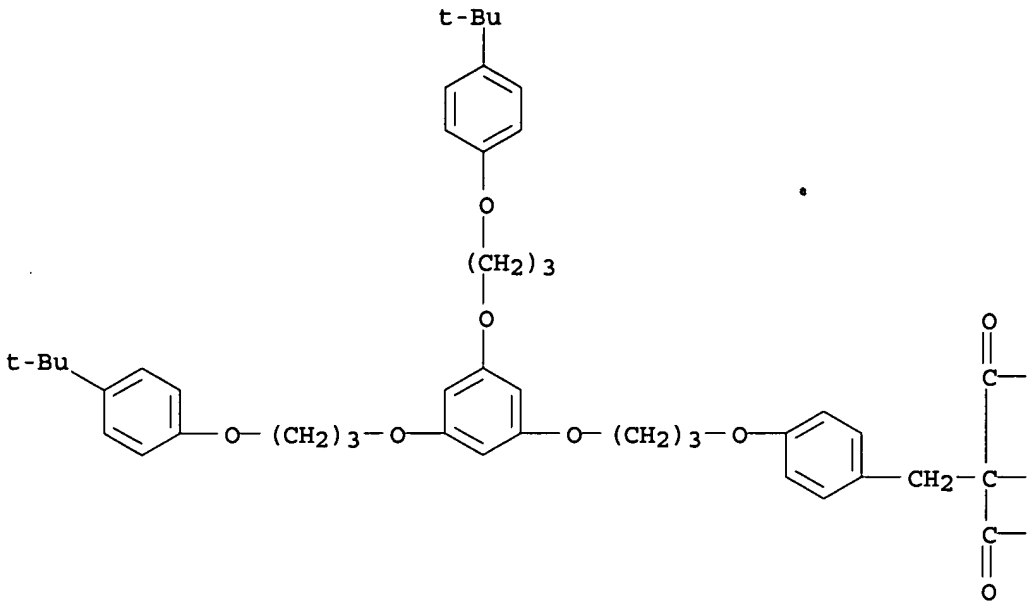
L4 ANSWER 12 OF 15 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 127:108631 CA
TITLE: Dendritic Bis(oxazoline)copper(II) Catalysts. 2. Synthesis, Reactivity, and Substrate Selectivity
AUTHOR(S): Chow, Hak-Fun; Mak, Chi Ching
CORPORATE SOURCE: Department of Chemistry, Chinese University of Hong Kong, Shatin, Hong Kong
SOURCE: Journal of Organic Chemistry (1997), 62(15), 5116-5127
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 127:108631

AB A series of dendritic bis(oxazoline) ligands were synthesized to evaluate the effects of the degree of branching of a dendritic sector on both the reactivity and selectivity of their corresponding copper(II) complex-catalyzed Diels-Alder reaction between cyclopentadiene and a crotonyl imide. Kinetic studies unveiled a two-step mechanism of the Diels-Alder reaction, in which a reversible binding of the dienophile to the copper complex was followed by a rate-determining reaction between the resulting dienophile-catalyst complex with the diene. Furthermore, two interesting features emerged: first, the formation constant of the dienophile-catalyst complex decreased gradually on going from the lower to higher generations, and secondly, while the Diels-Alder reaction rate constant remained essentially the same from the zeroth to second generation catalysts, it dropped abruptly for the third generation one. These observations were rationalized as a consequence of a folding-back of the dendritic sectors toward the catalytic unit at the third generation, so that increase in steric size impeded both the reactivity and binding profiles of the catalytic system. This behavior was reminiscent of related phenomena observed by others from solvatomatic, photophys., and viscosity studies. In line with this reasoning, a slight but noticeable substrate selectivity was observed for the third generation catalyst, which was absent from the lower ones, in competitive kinetic studies involving two dienophiles of different steric sizes.

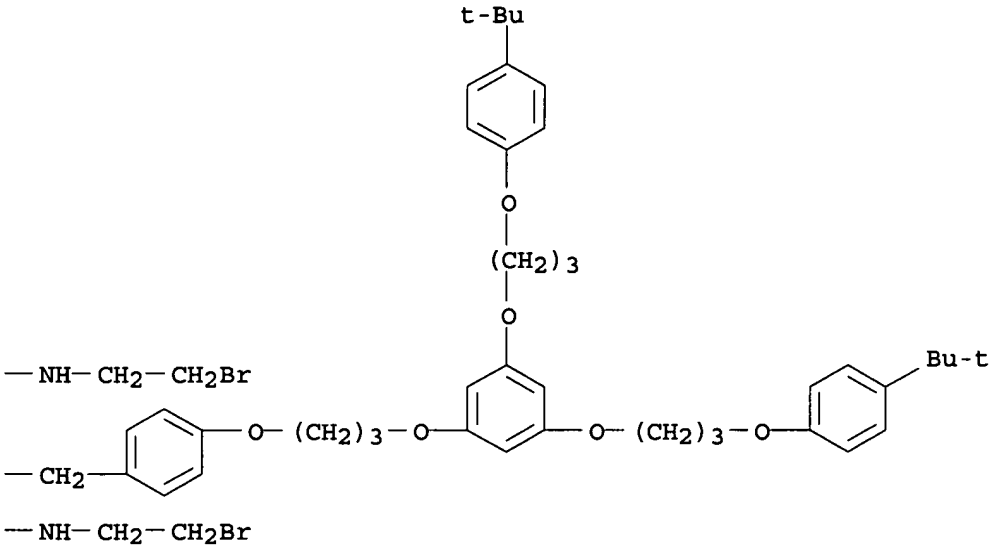
IT **192379-73-0**
RL: CAT (Catalyst use); FMU (Formation, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); FORM (Formation, nonpreparative); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(mechanistic reaction intermediate; preparation, reactivity, and substrate selectivity with dendritic bis(oxazoline)copper(II) catalysts)

RN 192379-73-0 CA
CN Propanediamide, 2,2-bis[[4-[3-[3,5-bis[3-[4-(1,1-dimethylethyl)phenoxy]propoxy]phenoxy]propoxy]phenyl]methyl]-N,N'-bis(2-bromoethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

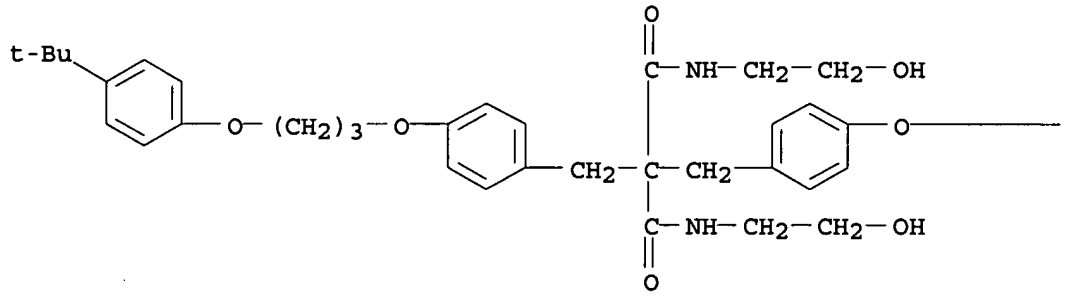
L4 ANSWER 13 OF 15 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 126:157058 CA
TITLE: Dendritic Catalysts: Reactivity and Mechanism of the Dendritic Bis(oxazoline)metal Complex Catalyzed Diels-Alder Reaction
AUTHOR(S): Mak, Chi Ching; Chow, Hak-Fun
CORPORATE SOURCE: Department of Chemistry University Science Centre, Chinese University of Hong Kong, Shatin, Hong Kong
SOURCE: Macromolecules (1997), 30(4), 1228-1230
CODEN: MAMOBX; ISSN: 0024-9297
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of copper(II)-bis(oxazoline) dendritic complexes was synthesized for use as Diels-Alder reaction catalysts. Kinetic investigations revealed that the reaction involved the initial binding of the dienophile to the catalyst and the subsequent Diels-Alder reaction of the resulting complex with the diene. It was discovered that the catalyst-dienophile binding constant decreased gradually (from 10.4 to 5.7 M-1) on moving from the lower to the higher generation catalyst. On the other hand, the rate of Diels-Alder reaction between the catalyst-dienophile complex and the diene, which remained essentially constant (0.0033 M-1 s-1) from the zeroth to the second generations, experienced a sudden drop (0.0019 M-1 s-1) for the third generation. This result was in line with similar observations on a sudden change in phys. properties across different dendrimer generations by other techniques.

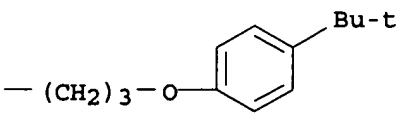
IT **186612-41-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of bis(oxazoline) dendritic ligands)

RN 186612-41-9 CA
CN Propanediamide, 2,2-bis[[4-[3-[4-(1,1-dimethylethyl)phenoxy]propoxy]phenyl]methyl]-N,N'-bis(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

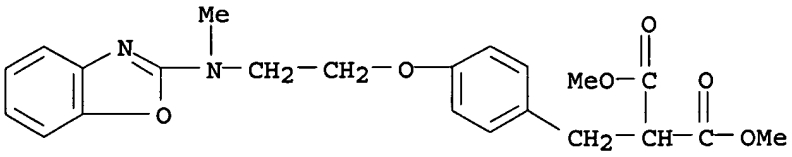
PAGE 1-A



PAGE 1-B



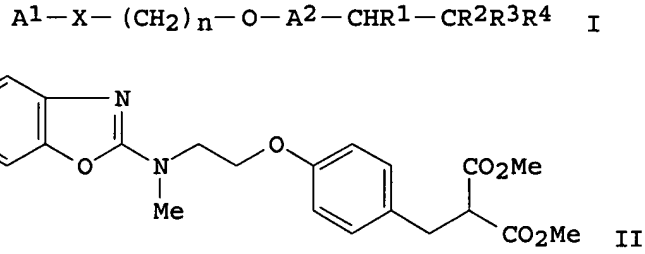
L4 ANSWER 14 OF 15 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 125:238227 CA
TITLE: Non-thiazolidinedione antihyperglycemic agents. 2: α-Carbon substituted β-phenylpropanoic acids
AUTHOR(S): Buckle, D. R.; Cantello, B. C. C.; Cawthorne, M. A.; Coyle, P. J.; Dean, D. K.; Faller, A.; Haigh, D.; Hindley, R. M.; Lefcott, L. J.; et al.
CORPORATE SOURCE: Dep. Medicinal Chem., Smithkline Beecham Pharmaceuticals, Surrey, KT18 5XQ, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (1996), 6(17), 2127-2130
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The thiazolidine-2,4-dione ring of insulin-sensitizing antidiabetic agents can be replaced by α-acyl-, α-alkyl- and α-(aralkyl)-carboxylic acids. The inclusion of an addnl. lipophilic moiety affords compds., equipotent to BRL 48482.
IT **157284-73-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(β-phenylpropanoic acids as antihyperglycemic agents)
RN 157284-73-6 CA
CN Propanedioic acid, [[4-[2-(2-benzoxazolylmethylamino)ethoxy]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 15 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 121:134132 CA
TITLE: Heterocyclic derivatives and their use in pharmaceuticals
INVENTOR(S): Haigh, David; Rami, Harshad Kantilal
PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9413650	A1	19940623	WO 1993-EP3269		19931122
W: JP, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
JP 08504199	T2	19960507	JP 1993-513713		19931122
PRIORITY APPLN. INFO.:			GB 1992-25386	A	19921204
			WO 1993-EP3269	W	19931122

OTHER SOURCE(S): MARPAT 121:134132
GI



I

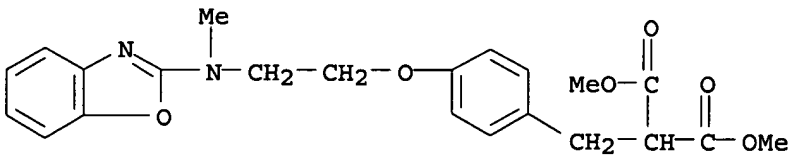
II

AB Heterocyclic compds. or tautomers thereof I [A1 = (un)substituted heterocyclic group; A2 = (un)substituted phenyl; R1, R2 = H; R1R2 represents a bond; R3, R4 = cyano, carboxy, amino, etc.; X = amine linkage; n = 2-6] were disclosed. Pharmaceutical compns. containing I were claimed. I are useful for the treatment of hyperglycemia, hyperlipidemia, hypertension, cardiovascular disease and eating disorders. A specifically claimed example compound is di-Me 2-[4-[2-[(2-benzoxazolyl)methylamino]ethoxy]phenylmethyl]-1,3-propanedioate (II).

IT **157284-73-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antidiabetic or antihypertensive)

RN 157284-73-6 CA

CN Propanedioic acid, [[4-[2-(2-benzoxazolylmethylamino)ethoxy]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)



10/713722

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L2            0 S L1 SAM
L3           64 S L1 FULL

     FILE 'CA' ENTERED AT 12:24:28 ON 20 APR 2006
L4           15 S L3

=>

---Logging off of STN---


=>
Executing the logoff script...


=> LOG Y

STN INTERNATIONAL LOGOFF AT 12:24:53 ON 20 APR 2006
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